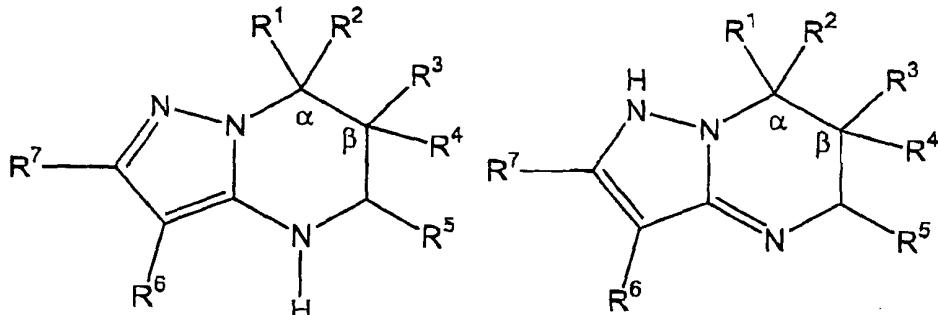


## Claims

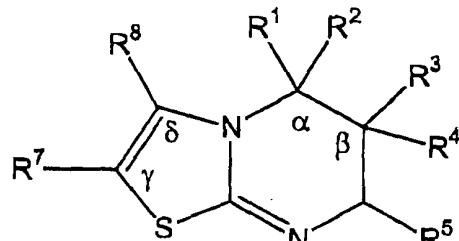
What is claimed is:

1. A compound corresponding to the structure (I A), (I B) or (II)



I A

I B



II

5

or a salt thereof, or a solvate or hydrate thereof, or a stereoisomer, mixture of stereoisomers having an arbitrary mixture ratio, or a racemic mixture thereof;

10

wherein

R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, O-R<sup>9</sup>, S-R<sup>10</sup>, C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl, -(C<sub>1-6</sub>-alkyl)-aryl, heterocyclyl or -(C<sub>1-6</sub> alkyl)-heterocyclyl,

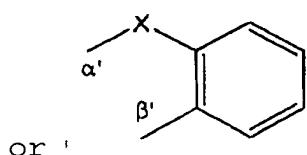
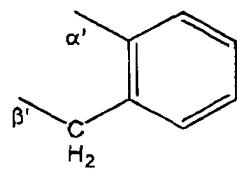
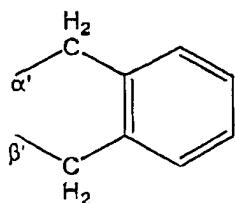
15

wherein exactly one of the radicals R<sup>1</sup> and R<sup>2</sup> is H, or wherein one of the radicals R<sup>1</sup> and R<sup>2</sup> is aryl and the other radical of R<sup>1</sup> and R<sup>2</sup> is H or C<sub>1-12</sub>-alkyl,

$R^3$  and  $R^4$  are selected from the group consisting of H, C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl or -(C<sub>1-6</sub>-alkyl)-aryl, wherein at least one of the radicals  $R^3$  and 5  $R^4$  is H,

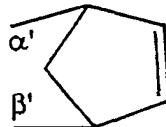
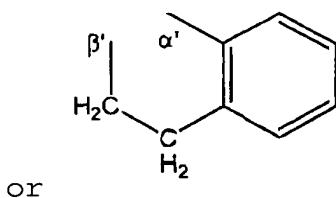
or

one of the radicals  $R^1$  and  $R^2$  together with one of the radicals  $R^3$  and  $R^4$  form W, where W is  $\alpha'-(CH_2)_n-\beta'$  where n = 3, 4, 5 or 6,  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-\beta'$ , 10  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH_2-CH=CH-\beta'$ , or  $\alpha'-O-(CH_2)_m-\beta'$  where m = 2, 3, 4 or 5, or where W corresponds to

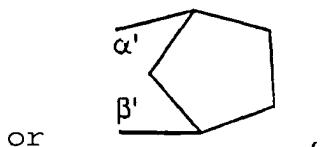


where X = CH<sub>2</sub>, O, or S,

15



or



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where the end of W identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound

corresponding to structure (I A), (I B) or (II),  
 the end of W identified by  $\beta'$  is joined to the  
 atom identified by  $\beta$  in the compound  
 corresponding to structure (I A), (I B) or (II),  
 5 the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl,  
 and the other radical of  $R^3$  and  $R^4$  is H or  $C_{1-12}$ -  
 alkyl;

10  $R^5$  is  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$   
 cycloalkyl, aryl,  $-(C_{1-6}$  alkyl)-aryl,  
 heterocyclyl,  $-(C_{1-6}$  alkyl)-heterocyclyl or  
 $C(=O)R^{11}$ ;

15  $R^6$  is H,  $C_{1-8}$ -alkyl, -CN, fluorine, chlorine,  
 bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{15}$ ,  
 $S(O)_pR^{16}$  where  $p$  = 0, 1 or 2,  $-C(=O)R^{17}$  or  $-N=N-$   
 aryl;

$R^7$  is H,  $C_{1-8}$ -alkyl, aryl, -CN, fluorine, chlorine,  
 bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{18}$ ,  
 $S(O)_qR^{19}$  where  $q$  = 0, 1 or 2, or  $C(=O)R^{20}$ ,

20  $R^8$  is H,  $C_{1-8}$ -alkyl or aryl,  
 or

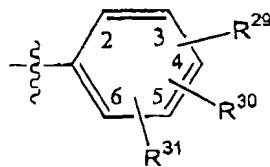
25  $R^7$  and  $R^8$  together form Y, wherein Y is  $\gamma'-CR^{21}=CR^{22}-$   
 $CR^{23}=CR^{24}-\delta'$ , where the end of Y identified by  $\gamma'$  is  
 joined to the atom identified by  $\gamma$  in the compound  
 corresponding to structure (II), and where the  
 end of Y identified by  $\delta'$  is joined to the atom  
 identified by  $\delta$  in the compound corresponding to  
 structure (II);

30  $R^9$  and  $R^{10}$  are independently selected from the group  
 consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-$   
 $C_{3-8}$ -cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;

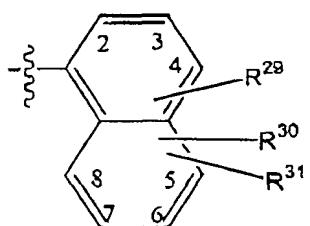
R<sup>11</sup> is H, C<sub>1-8</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl or OR<sup>25</sup>;  
 R<sup>12</sup> is C<sub>1-6</sub>-alkyl or -CH<sub>2</sub>-aryl;  
 R<sup>13</sup> and R<sup>14</sup> are identical or different C<sub>1-6</sub>-alkyl  
 5 radicals, or together are -(CH<sub>2</sub>)<sub>h</sub>- and form a ring, where h = 4 or 5;  
 R<sup>15</sup> and R<sup>16</sup> are independently selected from the group consisting of H, C<sub>1-8</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl and -(C<sub>1-6</sub>-alkyl)-aryl;  
 10 R<sup>17</sup> is H, C<sub>1-8</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl, -(C<sub>1-6</sub>-alkyl)-aryl, NH<sub>2</sub>, NHR<sup>12</sup>, NR<sup>13</sup>R<sup>14</sup> or OR<sup>26</sup>;  
 R<sup>18</sup> and R<sup>19</sup> are independently selected from the group consisting of H, C<sub>1-8</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl and -(C<sub>1-6</sub>-alkyl)-aryl;  
 15 R<sup>20</sup> is H, C<sub>1-8</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl or -(C<sub>1-6</sub>-alkyl)-aryl or OR<sup>27</sup>;  
 R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> and R<sup>24</sup> are independently selected from the group consisting of H, fluorine, chlorine, bromine, iodine and OR<sup>28</sup>;  
 20 R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are independently selected from the group consisting of H and C<sub>1-6</sub>-alkyl, where R<sup>25</sup> is not H when both R<sup>1</sup> is aryl and R<sup>2</sup> is alkyl;  
 25 and wherein the compound is not  
     4,5,6,7-tetrahydro-2-methyl-5,7-diphenylpyrazolo-[1,5-a]pyrimidine,  
     4,5,6,7-tetrahydro-2,5-dimethyl-7-phenylpyrazolo-[1,5-a]pyrimidine,  
 30     4,5,6,7-tetrahydro-5,7-dimethyl-3-phenylpyrazolo-[1,5-a]pyrimidine,  
     4,5,6,7-tetrahydro-2,5,7-trimethylpyrazolo[1,5-a]pyrimidine,

4,5,6,7-tetrahydro-5,7-dimethyl-2-phenylpyrazolo-[1,5-a]pyrimidine,  
4,5,6,7-tetrahydro-2-methyl-5,7-di-n-propylpyrazolo[1,5-a]pyrimidine-3-carbonitrile,  
5 4,5,6,7-tetrahydro-5-methyl-7-[3-(trifluoromethyl)-phenyl]pyrazolo[1,5-a]pyrimidine-3-carbonitrile,  
7-[4-(chlorophenyl)-4,5,6,7-tetrahydro-5-methylpyrazolo[1,5-a]pyrimidine-3-carbonitrile,  
10 7-[3-(chlorophenyl)-4,5,6,7-tetrahydro-5-methylpyrazolo[1,5-a]pyrimidine-3-carbonitrile,  
3,4-dihydro-2-(4-nitrophenyl)-4-phenyl-2H-pyrimido[2,1-b]benzothiazole, or  
3,4-dihydro-4-(4-methylphenyl)-2-(4-nitrophenyl)-2H-pyrimido[2,1-b]benzothiazole.  
15

2. A compound according to claim 1, wherein the compound is present as a physiologically compatible salt.
- 20 3. A compound according to claim 1, wherein the compound is present as a pure enantiomer or a pure diastereomer.
4. A compound according to claim 1, wherein the compound is present as a mixture of enantiomers or a mixture of stereoisomers.
- 25 5. A compound according to claim 1, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, O-R<sup>9</sup>, S-R<sup>10</sup>, C<sub>1-6</sub>-alkyl, aryl' or -(C<sub>1-6</sub>-alkyl)-aryl', wherein aryl' is aryl<sup>1</sup>, aryl<sup>2</sup>, or aryl<sup>3</sup>,



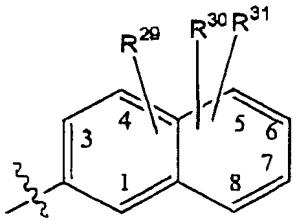
aryl<sup>1</sup> is



aryl<sup>2</sup> is

, and

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aryl<sup>3</sup> is

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wherein exactly one of the radicals R<sup>1</sup> and R<sup>2</sup> is H, or wherein one of the radicals R<sup>1</sup> and R<sup>2</sup> is aryl' and the other radical of R<sup>1</sup> and R<sup>2</sup> is H or C<sub>1-12</sub>-alkyl, and where R<sup>29</sup>, R<sup>30</sup> and R<sup>31</sup> are independently selected from the group consisting of H, C<sub>1-6</sub>-alkyl, F, Cl, Br, I, OH, O-C<sub>1-6</sub>-alkyl, O-aryl<sup>1</sup> and O-CH<sub>2</sub>-aryl<sup>1</sup>,

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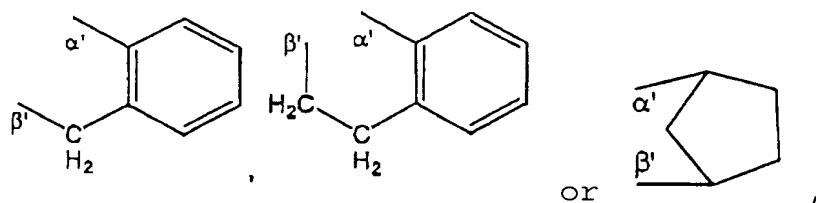
R<sup>3</sup> and R<sup>4</sup> are H, or unsubstituted, singly substituted or multiply substituted methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isohexyl, sec.-hexyl, aryl' or -CH<sub>2</sub>-aryl', where multiple substitution comprises replacement of multiple hydrogens bonded to one or more atoms by

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one or more substituents, and wherein at least one of the radicals  $R^3$  and  $R^4$  is H,

or

one of the radicals  $R^1$  and  $R^2$  together with one of the 5 radicals  $R^3$  and  $R^4$  form W, where W is  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ , or  $\alpha'-O-(CH_2)_m-\beta'$  where  $m = 2$ , 3, 4 or 5, or where W corresponds to



where the end of W identified by  $\alpha'$  is joined to 10 the atom identified by  $\alpha$  in the compound corresponding to structure (I A), (I B) or (II), the end of W identified by  $\beta'$  is joined to the atom identified by  $\beta$  in the compound corresponding to structure (I A), (I B) or (II), the other radical of  $R^1$  and  $R^2$  is H, methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isohexyl or sec.-hexyl and the other radical of  $R^3$  and  $R^4$  is H, methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isohexyl, or sec.-hexyl;

25  $R^5$  is unsubstituted, singly substituted, or multiply substituted methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isohexyl, sec.-hexyl, cyclopropyl, cyclobutyl, cyclopentyl,

cyclohexyl or cycloheptyl, where multiple substitution comprises replacement of multiple hydrogens bonded to one or more atoms by one or more substituents, or  $R^5$  is aryl',  $-(CH_2)_k\text{-aryl}'$  where  $k = 1, 2, 3$  or  $4$ , heterocyclyl, or  $C(=O)R^{11}$ ;  
 5  $R^6$  is H, methyl, ethyl,  $-\text{CN}$ , fluorine, chlorine, bromine, iodine,  $-\text{C}(=\text{O})R^{17}$  or  $-\text{N}=\text{N-aryl}^1$ ;  
 $R^7$  is H, aryl<sup>1</sup>,  $OR^{18}$ ,  $S(\text{O})_qR^{19}$  where  $q = 0, 1$  or  $2$ , or  
 10  $R^7$  is unsubstituted, singly substituted, or multiply substituted methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isoheptyl or sec.-heptyl, where multiple substitution comprises replacement of multiple hydrogens bonded to one or more atoms by one or more substituents,  
 15  $R^8$  is H or aryl',  
 or  
 the radicals  $R^7$  and  $R^8$  together form Y, where Y is  $\gamma'$ -  
 20  $CR^{21}=\text{CR}^{22}-\text{CR}^{23}=\text{CR}^{24}-\delta'$ , where the end of Y identified by  $\gamma'$  is joined to the atom identified by  $\gamma$  in the compound corresponding to structure (II), and the end of Y identified by  $\delta'$  is joined to the atom identified by  $\delta$  in the compound corresponding to structure (II);  
 25  $R^9$  is unsubstituted, singly substituted or multiply substituted methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isoheptyl, sec.-hexyl, cyclopropyl, cyclobutyl, cyclopentyl,  
 30 cyclohexyl or cycloheptyl or is  $-[(CH_2)_r\text{-O}]_s\text{-H}$  where  $r = 1, 2, 3, 4, 5$  or  $6$  and  $s = 1, 2, 3, 4$ ,

5 or 6, where multiple substitution comprises replacement of multiple hydrogens bonded to one or more atoms by one or more substituents;

5           R<sup>10</sup> is aryl';

10          R<sup>11</sup> is aryl' or OR<sup>25</sup>;

15          R<sup>17</sup> is OR<sup>26</sup>;

20          R<sup>18</sup> is H or methyl;

25          R<sup>19</sup> is H, aryl<sup>1</sup>, or unsubstituted, singly substituted or multiply substituted methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isoheptyl or sec.-hexyl, where multiple substitution comprises replacement of multiple hydrogens bonded to one or more atoms by one or more substituents;

30          R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> and R<sup>24</sup> are independently selected from the group consisting of H, fluorine, chlorine, bromine, iodine and OR<sup>28</sup>;

35          R<sup>25</sup> is H, methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isoheptyl or sec.-hexyl, where R<sup>25</sup> is not H when both R<sup>1</sup> is aryl and R<sup>2</sup> is alkyl;

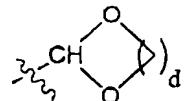
40          R<sup>26</sup> is H, methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isoheptyl or sec.-hexyl;

45          R<sup>28</sup> is H, methyl or ethyl;

50          Heterocyclyl is furan-2-yl, furan-3-yl, thien-2-yl, thien-3-yl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl, where furanyl, thienyl and pyridinyl are unsubstituted, singly substituted or multiply substituted, and where multiple

substitution comprises replacement of multiple hydrogens bonded to one or more atoms by one or more substituents;

$R^{29}$ ,  $R^{30}$  and  $R^{31}$ , when occurring within  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ ,  
 5  $R^8$ ,  $R^{10}$ ,  $R^{11}$ , or  $R^{19}$ , are independently selected from the group consisting of H,  $C_{1-6}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $(C_{1-6}$  alkyl)- $C_{3-8}$ -cycloalkyl, aryl,  $(C_{1-6}$ -alkyl)-aryl, heterocyclyl,  $(C_{1-6}$  alkyl)-heterocyclyl, F, Cl, Br, I, -CN, -NC,  
 10 -OR<sup>32</sup>, -SR<sup>33</sup>, -NO, -NO<sub>2</sub>, NH<sub>2</sub>, NHR<sup>34</sup>, NR<sup>35</sup>R<sup>36</sup>, -N-OH, -N-OC<sub>1-6</sub>-alkyl, -NHNH<sub>2</sub>, -N=N-aryl, -(C=O)R<sup>37</sup>, -  
 - (C=S)R<sup>37</sup>, or



where  $d = 1, 2$  or  $3$ , and may be in any arbitrary ring position;

15

$R^{32}$  and  $R^{33}$  are independently selected from the group consisting of H,  $-C_{1-6}$ -alkyl,  $-C_{3-8}$ -cycloalkyl,  $-(C_{1-6}$ -alkyl)- $C_{3-8}$ -cycloalkyl, -aryl,  $-(C_{1-6}$ -alkyl)-aryl,  
 20 -heterocyclyl,  $-(C_{1-6}$ -alkyl)-heterocyclyl,  $(C=O)R^{38}$ ,  $-[(CH_2)_w-O]_z-H$  or  $-[(CH_2)_w-O]_z-C_{1-6}$ -alkyl where  $w = 1, 2, 3$  or  $4$  and  $z = 1, 2, 3, 4$  or  $5$ ;

$R^{34}$  is  $C_{1-6}$ -alkyl, -CH<sub>2</sub>-aryl or  $-(C=O)O$ -tert.-butyl;

$R^{35}$  and  $R^{36}$  are  $C_{1-6}$ -alkyl or together are  $-(CH_2)_g-$  and form a ring where  $g = 4$  or  $5$ ;

$R^{37}$  is H,  $-C_{1-6}$ -alkyl,  $-C_{3-8}$ -cycloalkyl,  $-(C_{1-6}$ -alkyl)- $C_{3-8}$ -cycloalkyl, -aryl,  $-(C_{1-6}$ -alkyl)-aryl, -heterocyclyl,  $-(C_{1-6}$ -alkyl)-heterocyclyl, -OR<sup>39</sup>, -NH<sub>2</sub>, NHR<sup>34</sup>, NR<sup>35</sup>R<sup>36</sup>;

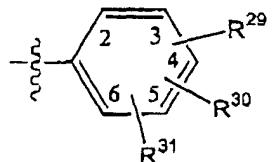
$R^{38}$  is H,  $-C_{1-6}$ -alkyl,  $-C_{3-8}$ -cycloalkyl,  $-(C_{1-6}$ -alkyl)- $C_{3-8}$ -cycloalkyl, -aryl,  $-(C_{1-6}$ -alkyl)-aryl;

and

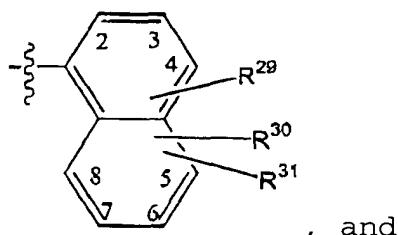
$R^{39}$  is H,  $-C_{1-6}$ -alkyl,  $-C_{3-8}$ -cycloalkyl,  $-(C_{1-6}$ -alkyl)- $C_{3-8}$ -cycloalkyl, -aryl,  $-(C_{1-6}$ -alkyl)-aryl, -heterocyclyl or  $-(C_{1-6}$ -alkyl)-heterocyclyl.

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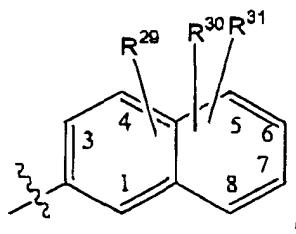
6. A compound according to claim 1, wherein  
 $R^1$  and  $R^2$  are independently selected from the group  
 consisting of H,  $O-R^9$ ,  $S-R^{10}$ , or unsubstituted,  
 singly substituted, or multiply substituted  
 10 methyl, ethyl, n-propyl, 2-propyl, n-butyl,  
 tert.-butyl or n-hexyl, aryl' or  $-CH_2$ -aryl', where  
 aryl' is aryl<sup>1</sup>, aryl<sup>2</sup>, or aryl<sup>3</sup>,



aryl<sup>1</sup> is



aryl<sup>2</sup> is



aryl<sup>3</sup> is

15

where  $R^{29}$ ,  $R^{30}$  and  $R^{31}$  are independently selected  
 from the group consisting of H, methyl, ethyl, 2-

20

propyl, n-butyl, tert.-butyl, n-hexyl, F, Cl, Br, I, OH, O-methyl, and O-ethyl,

wherein exactly one of  $R^1$  and  $R^2$  is H, or wherein one of the radicals  $R^1$  and  $R^2$  is aryl<sup>1</sup> and the other radical of  $R^1$  and  $R^2$  is H, methyl, ethyl, n-propyl, 2-propyl, n-butyl, tert.-butyl or n-hexyl,

5

$R^3$  and  $R^4$  are independently selected from the group consisting of H, methyl or aryl<sup>1</sup>, wherein the aryl<sup>1</sup> substituents  $R^{29}$ ,  $R^{30}$  and  $R^{31}$  are independently selected from the group consisting of H, methyl and O-methyl,

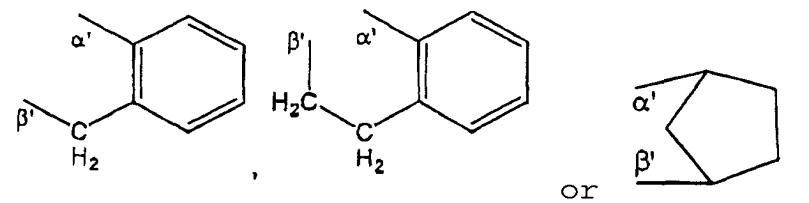
10

wherein at least one of the radicals  $R^3$  and  $R^4$  is H,

15

or

one of the radicals  $R^1$  and  $R^2$  together with one of the radicals  $R^3$  and  $R^4$  form W, where W is  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ ,  $\alpha'-O-(CH_2)_m-\beta'$  where  $m = 2, 3, 4$  or  $5$ , or where W corresponds to



or

20

where the end of W identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound corresponding to structure (I A), (I B) or (II), the end of W identified by  $\beta'$  is joined to the atom identified by  $\beta$  in the compound

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corresponding to structure (I A), (I B) or (II), and the other radical of  $R^1$  and  $R^2$  and the other radical of  $R^3$  and  $R^4$  is H;

$R^5$  is methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl,  $-(CH_2)_4-OH$ , cyclopropyl that is unsubstituted or singly substituted by  $C(=O)OH$ ,  $C(=O)O$ -methyl or  $C(=O)O$ -ethyl, cyclopentyl, cyclohexyl, aryl<sup>1</sup> or  $-(CH_2)_k$ -aryl<sup>1</sup> where the aryl<sup>1</sup> substituents  $R^{29}$ ,  $R^{30}$  and  $R^{31}$  are independently selected from the group consisting of H, -OH, -O-methyl,  $O-C_6H_5$ ,  $CH_3$ ,  $CF_3$  or  $C(=O)OH$  and  $k = 1$  or 2, or  $R^5$  is heterocyclyl or  $C(=O)R^{11}$ ;  
 $R^6$  is H, -CN, bromine,  $-C(=O)R^{17}$  or  $-N=N$ -phenyl;  
 $R^7$  is H, methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl or tert.-butyl, or aryl<sup>1</sup> where  $R^{29}$ ,  $R^{30}$  and  $R^{31}$  are independently selected from the group consisting of H, OH, or  $S(O)_qR^{19}$  where  $q = 0$  or 2,  
 $R^8$  is H, aryl<sup>1</sup> where the aryl<sup>1</sup> substituents  $R^{29}$ ,  $R^{30}$  and  $R^{31}$  are independently selected from the group consisting of H, methyl or chlorine, or aryl<sup>3</sup> where  $R^{29}$ ,  $R^{30}$  and  $R^{31}$  are H,  
or  
the radicals  $R^7$  and  $R^8$  together form Y, where Y is  $\gamma'$ - $CR^{21}=CR^{22}-CR^{23}=CR^{24}-\delta'$ , where the end of Y identified by  $\gamma'$  is joined to the atom identified by  $\gamma$  in the compound corresponding to structure (II), and the end of Y identified by  $\delta'$  is joined to the atom identified by  $\delta$  in the compound corresponding to structure (II);  
 $R^9$  is methyl, ethyl, n-propyl, 2-propyl, n-butyl, isobutyl, sec.-butyl, tert.-butyl, n-amyl, isoamyl, sec.-amyl, n-hexyl, isohexyl, sec.-

hexyl, cyclopropyl, cyclopentyl, cyclohexyl, or -[(CH<sub>2</sub>)<sub>r</sub>-O]<sub>s</sub>-H where r = 1, 2 or 3 and s = 1 or 2;

5 R<sup>10</sup> is aryl<sup>1</sup>;

R<sup>11</sup> is aryl<sup>1</sup> where R<sup>29</sup>, R<sup>30</sup> and R<sup>31</sup> are H or OR<sup>25</sup>;

R<sup>17</sup> is OR<sup>26</sup>;

10 R<sup>19</sup> is methyl or aryl<sup>1</sup>, where one of the aryl<sup>1</sup> substituents R<sup>29</sup>, R<sup>30</sup> and R<sup>31</sup> is H or -NO<sub>2</sub>, and the two other aryl<sup>1</sup> substituents of R<sup>29</sup>, R<sup>30</sup> and R<sup>31</sup> are H;

15 R<sup>21</sup> and R<sup>23</sup> are H;

R<sup>22</sup> is H, fluorine or OR<sup>26</sup>;

R<sup>24</sup> is H or chlorine;

R<sup>25</sup> is H, methyl or ethyl, where R<sup>25</sup> is not H when R<sup>1</sup> is aryl and R<sup>2</sup> is alkyl;

20 R<sup>26</sup> is H, methyl or ethyl;

R<sup>28</sup> is methyl or ethyl; and

Heterocyclyl is furan-2-yl, furan-3-yl, thien-2-yl, thien-3-yl, pyridin-2-yl, pyridin-3-yl or pyridin-4-yl, where furanyl, thienyl and 25 pyridinyl are unsubstituted, singly substituted, or multiply substituted by -NO<sub>2</sub>, CH<sub>3</sub> or C(=O)OH, where multiple substitution comprises replacement of multiple hydrogens bonded to one or more atoms by one or more substituents.

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7. A compound according to claim 1, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, O-CH<sub>2</sub>-CH<sub>2</sub>-OH, O-cyclohexyl, S-phenyl, methyl, phenyl, 3-fluorophenyl, 3-bromophenyl, 4-bromophenyl, 4-chlorophenyl, 4-fluorophenyl, 3-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 2,4-dimethylphenyl, 3,4-

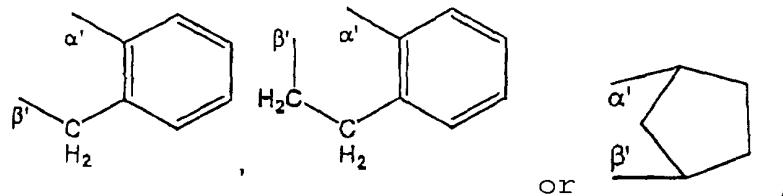
dimethoxyphenyl, 2,3,4-trimethoxyphenyl, 2-naphthyl or -CH<sub>2</sub>-phenyl,

R<sup>3</sup> and R<sup>4</sup> are H, methyl or 4-methoxyphenyl, where at least one of R<sup>3</sup> and R<sup>4</sup> is H,

5

or

one of the radicals R<sup>1</sup> and R<sup>2</sup> together with one of the radicals R<sup>3</sup> and R<sup>4</sup> form W, where W is α'-CH=CH-CH<sub>2</sub>-β', α'-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-β', or α'-O-(CH<sub>2</sub>)<sub>m</sub>-β' where m = 2, 3, 4 or 5, or where W corresponds to



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where the end of W identified by α' is joined to the atom identified by α in the compound corresponding to structure (I A), (I B) or (II), the end of W identified by β' is joined to the atom identified by β in the compound

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corresponding to structure (I A), (I B) or (II), and the other radical of R<sup>1</sup> and R<sup>2</sup> and the other radical of R<sup>3</sup> and R<sup>4</sup> are H;

R<sup>5</sup> is n-propyl, n-butyl, tert.-butyl, -(CH<sub>2</sub>)<sub>4</sub>-OH, cyclopropyl, cycloprop-2-yl-1-carboxylic acid

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ethyl ether, cyclohexyl, 4-trifluorophenyl, 4-phenoxyphenyl, 2-hydroxy-3-methoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3-carboxy-2-hydroxyphenyl, -(CH<sub>2</sub>)<sub>2</sub>-phenyl, 5-carboxyfuran-2-yl, 5-methylfuran-2-yl, 5-nitrofuran-2-yl, 5-nitrothien-2-yl, pyridin-2-yl, pyridin-3-yl, C(=O)-phenyl, C(=O)OH or C(=O)Oethyl, where R<sup>5</sup> is not C(=O)OH when both R<sup>1</sup> is aryl and R<sup>2</sup> is alkyl;

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R<sup>6</sup> is H, -CN, bromine, -C(=O)OH, -C(=O)Oethyl or -N=N-phenyl;

R<sup>7</sup> is H, phenyl, OH, -S-methyl, -SO<sub>2</sub>-(4-nitrophenyl) or tert.-butyl;

5 R<sup>8</sup> is 4-chlorophenyl, 4-methylphenyl or 2-naphthyl;  
or

the radicals R<sup>7</sup> and R<sup>8</sup> together form Y, where Y is  $\gamma'$ -CR<sup>21</sup>=CR<sup>22</sup>-CR<sup>23</sup>=CR<sup>24</sup>- $\delta'$ , where the end of Y identified by  $\gamma'$  is joined to the atom identified by  $\gamma$  in the compound corresponding to structure (II), and the end of Y identified by  $\delta'$  is joined to the atom identified by  $\delta$  in the compound corresponding to structure (II);

and

15 R<sup>21</sup> is fluorine, methoxy or ethoxy.

8. A compound according to claim 1, wherein the compound is selected from the group consisting of:

- 3-bromo-5-(5-nitrofuran-2-yl)-7-m-tolyltetrahydro-pyrazolo[1,5-a]pyrimidine
- 3-bromo-7-(4-fluorophenyl)-7-methyl-5-(5-nitrofuran-2-yl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 3-bromo-7-naphthalin-2-yl-5-(5-nitrofuran-2-yl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 2-(3-bromo-7-m-tolyltetrahydropyrazolo[1,5-a]-pyrimidin-5-yl)-cyclopropanecarboxylic acid ethyl ester
- 2-[3-bromo-7-(4-bromophenyl)-tetrahydropyrazolo[1,5-a]pyrimidin-5-yl]-cyclopropanecarboxylic acid ethyl ester

- 2- (3-bromo-7-naphthalin-2-yl-tetrahydropyrazolo[1,5-a]pyrimidin-5-yl)-cyclopropanecarboxylic acid ethyl ester
- 3-bromo-7- (4-fluorophenyl)-7-methyl-5- (5-methyl-furan-2-yl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 3-bromo-7- (3,4-dimethoxyphenyl)-tetrahydropyrazolo-[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 3-bromo-7- (4-methoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 3-bromo-7- (4-methoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid
- 3-bromo-7- (2,4-dimethylphenyl)-5- (5-nitrofuran-2-yl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 3-bromo-7- (4-methoxyphenyl)-5- (5-nitrofuran-2-yl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 5,5a,6,8a-tetrahydro-3H-1,4,8b-triaza-as-indacene-3,5-dicarboxylic acid diethyl ester; 5,5a,6,8a-tetrahydro-4H-1,4,8b-triaza-as-indacene-3,5-dicarboxylic acid diethyl ester
- 2-hydroxy-3-phenylazo-5,5a,6,8a-tetrahydro-3H-1,4,8b-triaza-as-indacene-5-carboxylic acid ethyl ester; 2-hydroxy-3-phenylazo-5,5a,6,8a-tetrahydro-4H-1,4,8b-triaza-as-indacene-5-carboxylic acid ethyl ester
- 2-tert.-butyl-5,5a,6,8a-tetrahydro-3H-1,4,8b-triaza-as-indacene-5-carboxylic acid ethyl ester; 2-tert.-butyl-5,5a,6,8a-tetrahydro-3H-1,4,8b-triaza-as-indacene-5-carboxylic acid ethyl ester
- 3-bromo-2-phenyl-5,5a,6,8a-tetrahydro-3H-1,4,8b-triaza-as-indacene-5-carboxylic acid ethyl ester; 3-bromo-2-phenyl-5,5a,6,8a-tetrahydro-4H-1,4,8b-triaza-as-indacene-5-carboxylic acid ethyl ester

- 7- (2,3,4-trimethoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-3,5-dicarboxylic acid diethyl ester
- 3-cyano-2-methylsulfanyl-7- (2,3,4-trimethoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 2-hydroxy-7- (4-hydroxyphenyl)-6-methyl-3-phenylazo-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 3-bromo-7- (4-hydroxyphenyl)-6-methyl-2-phenyltetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 5,5a,6,10b-tetrahydro-3H-1,4,10c-triazacyclopenta-[c]fluorene-3,5-dicarboxylic acid diethyl ester; 5,5a,6,10b-tetrahydro-4H-1,4,10c-triazacyclopenta[c]fluorene-3,5-dicarboxylic acid diethyl ester
- 2-hydroxy-3-phenylazo-5,5a,6,10b-tetrahydro-3H-1,4,10c-triazacyclopenta[c]fluorene-5-carboxylic acid ethyl ester; 2-hydroxy-3-phenylazo-5,5a,6,10b-tetrahydro-4H-1,4,10c-triazacyclopenta[c]fluorene-5-carboxylic acid ethyl ester
- 7-phenylsulfanyltetrahydropyrazolo[1,5-a]pyrimidine-3,5-dicarboxylic acid diethyl ester
- 3-cyano-2-methylsulfanyl-7-phenylsulfanyltetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 3-cyano-2-methylsulfanyl-7- (2,3,4-trimethoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid
- 7-phenylsulfanyltetrahydropyrazolo[1,5-a]pyrimidine-3,5-dicarboxylic acid-3-ethyl ester

- 3-cyano-7- (2,4-dimethylphenyl) -2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 3-cyano-7- (2,4-dimethylphenyl) -tetrahydropyrazolo-[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 7- (2,4-dimethylphenyl) -tetrahydropyrazolo-[1,5-a]pyrimidine-3,5-dicarboxylic acid-3-ethyl ester
- 3-bromo-7- (2,4-dimethylphenyl) -2-phenyltetrahydropyrazolo-[1,5-a]pyrimidine-5-carboxylic acid
- 3-cyano-7- (2,4-dimethylphenyl) -2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid
- 3-cyano-7- (2,4-dimethylphenyl) -tetrahydropyrazolo-[1,5-a]pyrimidine-5-carboxylic acid
- 3-cyano-7- (3,4-dimethoxyphenyl) -2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid
- 7- (2,4-dimethylphenyl) -5- (5-nitrofuran-2-yl) -tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 7- (2,4-dimethylphenyl) -5- (5-nitrofuran-2-yl) -3-phenylazotetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 3-bromo-7- (2,4-dimethylphenyl) -5- (5-nitrofuran-2-yl) -2-phenylazotetrahydropyrazolo[1,5-a]pyrimidine
- 7- (2,4-dimethylphenyl) -2-methylsulfanyl-5- (5-nitrofuran-2-yl) -tetrahydropyrazolo[1,5-a]-pyrimidine-3-carbonitrile
- 7- (2,4-dimethylphenyl) -5- (5-nitrofuran-2-yl) -tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 3-bromo-7- (3,4-dimethoxyphenyl) -5- (5-nitrofuran-2-yl) -2-phenyltetrahydropyrazolo[1,5-a]pyrimidine

- 7- (4-methoxyphenyl) -2-methylsulfanyl-5- (5-nitrofuran-2-yl) -tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7- (2,4-dimethylphenyl) -5- (2-ethoxycarbonylcyclopropyl) -tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 2- [7- (2,4-dimethylphenyl) -2-hydroxy-3-phenylazotetrahydropyrazolo[1,5-a]pyrimidin-5-yl] -cyclopropanecarboxylic acid ethyl ester
- 2- [2-tert.-butyl-7- (2,4-dimethylphenyl) tetrahydropyrazolo[1,5-a]pyrimidin-5-yl] cyclopropanecarboxylic acid ethyl ester
- 2- [3-bromo-7- (2,4-dimethylphenyl) -2-phenyltetrahydropyrazolo[1,5-a]pyrimidin-5-yl] cyclopropane-carboxylic acid ethyl ester
- 2- [3-cyano-7- (2,4-dimethylphenyl) -2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidin-5-yl] -cyclopropanecarboxylic acid ethyl ester
- 5- (2-ethoxycarbonylcyclopropyl) -7- (3-fluorophenyl) -tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 2- [3-bromo-7- (3-bromophenyl) -2-phenyltetrahydropyrazolo[1,5-a]pyrimidin-5-yl] cyclopropane-carboxylic acid ethyl ester
- 2- [7- (3-bromophenyl) -3-cyano-2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidin-5-yl] -cyclopropanecarboxylic acid ethyl ester
- 7- (2,4-dimethylphenyl) -5- (5-nitrothiophen-2-yl) -3-phenylazotetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 7- (2,4-dimethylphenyl) -2-methylsulfanyl-5- (5-nitrothiophen-2-yl) -tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile

- 7- (2,4-dimethylphenyl) -5- (5-nitrothiophen-2-yl) -  
tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7- (3,4-dimethoxyphenyl) -5- (5-nitrothiophen-2-yl) -  
tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic  
5 acid ethyl ester
- 7- (3,4-dimethoxyphenyl) -5- (5-nitrothiophen-2-yl) -3-  
phenylazotetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 3-bromo-7- (3,4-dimethoxyphenyl) -5- (5-nitrothiophen-  
2-yl) -2-phenyltetrahydropyrazolo[1,5-a]pyrimidine
- 7- (3,4-dimethoxyphenyl) -2-methylsulfanyl-5- (5-  
nitrothiophen-2-yl) -tetrahydropyrazolo[1,5-a] -  
pyrimidine-3-carbonitrile
- 7- (3,4-dimethoxyphenyl) -5- (5-nitrothiophen-2-yl) -  
tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7- (4-methoxyphenyl) -5- (5-nitrothiophen-2-yl) -  
tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic  
10 acid ethyl ester
- 5- [3-bromo-7- (4-methoxyphenyl) -2-phenyltetrahydro-  
pyrazolo[1,5-a]pyrimidin-5-yl] -furan-2-carboxylic  
15 acid
- 5-benzoyl-7- (2,4-dimethylphenyl) -tetrahydropyrazolo-  
[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 5-benzoyl-7- (2,4-dimethylphenyl) -2-methylsulfanyl-  
tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5-benzoyl-7- (2,4-dimethylphenyl) -tetrahydropyrazolo-  
20 [1,5-a]pyrimidine-3-carbonitrile
- 5-benzoyl-7- (3,4-dimethoxyphenyl) -tetrahydro-  
pyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl  
ester
- [3-bromo-7- (3,4-dimethoxyphenyl) -2-phenyltetrahydro-  
pyrazolo[1,5-a]pyrimidin-5-yl] -phenylmethanone

- 5-benzoyl-7-(3,4-dimethoxyphenyl)-2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5-benzoyl-7-(3,4-dimethoxyphenyl)tetrahydropyrazolo-[1,5-a]pyrimidine-3-carbonitrile
- 5     • 5-benzoyl-7-(4-methoxyphenyl)-tetrahydropyrazolo-[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 5-benzoyl-7-(4-methoxyphenyl)-2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5-benzoyl-7-(4-methoxyphenyl)tetrahydropyrazolo-[1,5-a]pyrimidine-3-carbonitrile
- 10    • 5-benzoyl-7-(3-fluorophenyl)-tetrahydropyrazolo-[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- [3-bromo-7-(3-fluorophenyl)-2-phenyltetrahydro-pyrazolo[1,5-a]pyrimidin-5-yl]-phenylmethanone
- 15    • [3-bromo-7-(3-bromophenyl)-2-phenyltetrahydro-pyrazolo[1,5-a]pyrimidin-5-yl]-phenylmethanone
- 7-(2,4-dimethylphenyl)-5-(4-phenoxyphenyl)tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 20    • 3-bromo-7-(2,4-dimethylphenyl)-5-(4-phenoxyphenyl)-2-phenyltetrahydropyrazolo[1,5-a]pyrimidine
- 7-(2,4-dimethylphenyl)-2-methylsulfanyl-5-(4-phenoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 25    • 7-(2,4-dimethylphenyl)-5-(4-phenoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7-(3,4-dimethoxyphenyl)-5-(4-phenoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester

- 7- (3, 4-dimethoxyphenyl) -2-methylsulfanyl-5- (4-phenoxyphenyl) -tetrahydropyrazolo[1, 5-a]pyrimidine-3-carbonitrile
- 3- [3-cyano-7- (4-hydroxyphenyl) -6-methyltetrahydro-pyrazolo[1, 5-a]pyrimidin-5-yl] -2-hydroxybenzoic acid
- 3- (3-cyano-5, 5a, 6, 10b-tetrahydro-3H-1, 4, 10c-triaza-cyclopenta[c]fluoren-5-yl) -2-hydroxybenzoic acid; 3- (3-cyano-5, 5a, 6, 10b-tetrahydro-4H-1, 4, 10c-triaza-cyclopenta[c]fluoren-5-yl) -2-hydroxybenzoic acid
- 3- (3-cyano-7-phenylsulfanyl)tetrahydropyrazolo[1, 5-a]pyrimidin-5-yl] -2-hydroxybenzoic acid
- 3- [2-tert.-butyl-7- (4-chlorophenyl) -7-methyltetrahydropyrazolo[1, 5-a]pyrimidin-5-yl] -2-hydroxybenzoic acid
- 5- (4-hydroxy-3-methoxyphenyl) -7- (4-hydroxyphenyl) -6-methyltetrahydropyrazolo[1, 5-a]pyrimidine-3-carboxylic acid ethyl ester
- 5- (4-hydroxy-3-methoxyphenyl) -7- (4-hydroxyphenyl) -6-methyltetrahydropyrazolo[1, 5-a]pyrimidine-3-carbonitrile
- 5- (4-hydroxy-3-methoxyphenyl) -5, 5a, 6, 10b-tetrahydro-3H-1, 4, 10c-triazacyclopenta[c]fluorene-3-carboxylic acid ethyl ester; 5- (4-hydroxy-3-methoxyphenyl) -5, 5a, 6, 10b-tetrahydro-4H-1, 4, 10c-triazacyclopenta[c]fluorene-3-carboxylic acid ethyl ester
- 4- (2-tert.-butyl-5, 5a, 6, 10b-tetrahydro-3H-1, 4, 10c-triazacyclopenta[c]fluoren-5-yl) -2-methoxyphenol; 4- (2-tert.-butyl-5, 5a, 6, 10b-tetrahydro-4H-1, 4, 10c-triazacyclopenta[c]fluoren-5-yl) -2-methoxyphenol
- 5- (4-hydroxy-3-methoxyphenyl) -2-methylsulfanyl-5, 5a, 6, 10b-tetrahydro-3H-1, 4, 10c-triazacyclopenta[c]fluorene-3-carbonitrile; 5- (4-hydroxy-3-

methoxyphenyl) -2-methylsulfanyl-5,5a,6,10b-tetrahydro-3H-1,4,10c-triazacyclopenta[c]fluorene-3-carbonitrile

- 5 - (4-hydroxy-3-methoxyphenyl) -7-phenylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 10 4- (2-tert.-butyl-7-phenylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidin-5-yl) -2-methoxyphenol
- 15 4- (3-bromo-2-phenyl-7-phenylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidin-5-yl) -2-methoxyphenol
- 20 5- (2-hydroxy-3-methoxyphenyl) -7-phenylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 25 5- (4-chlorophenyl) -5- (2-hydroxy-3-methoxyphenyl) -7-methyltetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 30 5- (4-hydroxybutyl) -5,5a,6,10b-tetrahydro-3H-1,4,10c-triazacyclopenta[c]fluorene-3-carbonitrile; 5- (4-hydroxybutyl) -5,5a,6,10b-tetrahydro-4H-1,4,10c-triazacyclopenta[c]fluorene-3-carbonitrile
- 35 5- (4-hydroxybutyl) -2-methylsulfanyl-7-phenylsulfanyltetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 40 5- (4-hydroxybutyl) -7-phenylsulfanyltetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 45 7- (4-chlorophenyl) -5- (4-hydroxybutyl) -7-methyltetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 50 5-butyl-2-methylsulfanyl-5,5a,6,10b-tetrahydro-3H-1,4,10c-triazacyclopenta[c]fluorene-3-carbonitrile; 5-butyl-2-methylsulfanyl-5,5a,6,10b-tetrahydro-4H-1,4,10c-triazacyclopenta[c]fluorene-3-carbonitrile

- 5-butyl-2-methylsulfanyl-7-phenylsulfanyltetrahydro-pyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5-butyl-7-phenylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5     • 5-butyl-(4-chlorophenyl)-7-methylsulfanyltetrahydro-pyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5-cyclopropyl-7-(2,4-dimethylphenyl)-3-phenylazo-tetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 2-tert.-butyl-5-cyclopropyl-7-(2,4-dimethylphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 10    • 5-cyclopropyl-7-(2,4-dimethylphenyl)-2-methyl-sulfanyltetrahydro-pyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 2-tert.-butyl-5-cyclopropyl-7-(3,4-dimethoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 15    • 3-bromo-5-cyclopropyl-7-(3,4-dimethoxyphenyl)-2-phenyltetrahydropyrazolo[1,5-a]pyrimidine
- 5-cyclopropyl-7-(4-methoxyphenol)-tetrahydro-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 20    • 5-cyclopropyl-3,5,5a,6,7,11b-hexahydro-1,4,11c-triazacyclopenta[c]phenanthrene-3-carbonitrile
- 7-(2,4-dimethylphenyl)-5-pyridin-2-yl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 25    • 7-(2,4-dimethylphenyl)-3-phenylazo-5-pyridin-2-yl-tetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 3-bromo-7-(2,4-dimethylphenyl)-2-phenyl-5-pyridin-2-yl-tetrahydropyrazolo[1,5-a]pyrimidine

- 7- (2,4-dimethylphenyl) -2-methylsulfanyl-5-pyridin-2-yl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7- (3,4-dimethoxyphenyl) -2-methylsulfanyl-5-phenethyl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7- (3,4-dimethoxyphenyl) -5-phenethyl-tetrahydro-pyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5-cyclopropyl-7- (2-hydroxyethoxy) -tetrahydro-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 2- (2-tert.-butyl-5-cyclopropyltetrahydropyrazolo[1,5-a]pyrimidin-7-yloxy) -ethanol
- 5-cyclopropyl-3,5,5a,6,7,8a-hexahydro-8-oxa-1,4,8b-triaza-as-indacene-3-carboxylic acid ethyl ester; 5-cyclopropyl-4,5,5a,6,7,8a-hexahydro-8-oxa-1,4,8b-triaza-as-indacene-3-carboxylic acid ethyl ester
- 5-cyclopropyl-3-phenylazo-3,5,5a,6,7,8a-hexahydro-8-oxa-1,4,8b-triaza-as-indacen-2-ol; 5-cyclopropyl-3-phenylazo-4,5,5a,6,7,8a-hexahydro-8-oxa-1,4,8b-triaza-as-indacen-2-ol
- 7-cyclohexyloxy-5-cyclopropyltetrahydropyrazolo[1,5-a]pyrimidin-3-carboxylic acid ethyl ester
- 7-cyclohexyloxy-5-cyclopropyl-2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidin-3-carbonitrile
- 7- (4-chlorophenyl) -5-cyclohexyltetrahydropyrazolo[1,5-a]pyrimidin-3-carbonitrile
- 5-cyclohexyl-7- (2-hydroxyethoxy) -tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 5-cyclohexyl-3,5,5a,6,7,8a-hexahydro-8-oxa-1,4,8b-triaza-as-indacene-3-carboxylic acid ethyl ester; 5-

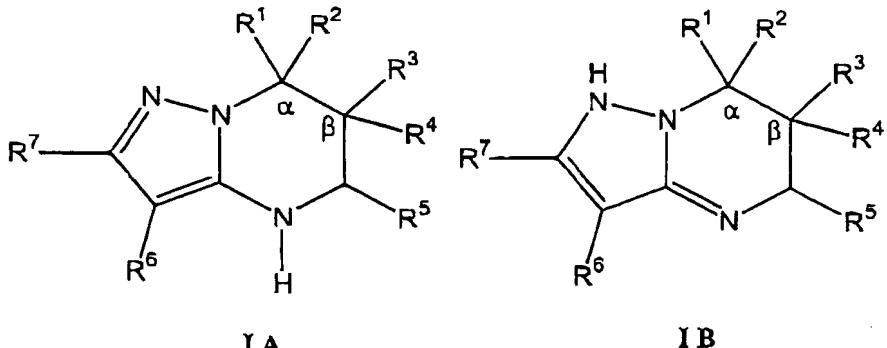
cyclohexyl-4,5,5a,6,7,8a-hexahydro-8-oxa-1,4,8b-triaza-as-indacene-3-carboxylic acid ethyl ester

- 5-cyclohexyl-7-cyclohexyloxytetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 5 • 7-(2,4-dimethylphenyl)-3-phenylazo-5-propyltetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 7-(2,4-dimethylphenyl)-2-methylsulfanyl-5-propyltetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 5-tert.-butyl-7-(2,4-dimethylphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 10 • 2,5-di-tert.-butyl-7-(3,4-dimethoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine
- 3-bromo-5-tert.-butyl-7-(3,4-dimethoxyphenyl)-2-phenyltetrahydropyrazolo[1,5-a]pyrimidine
- 15 • 2-[3-cyano-6,7-bis-(4-methoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidin-5-yl]-cyclopropanecarboxylic acid ethyl ester
- 3-cyano-6,7-bis-(4-methoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid
- 20 • 4-[3-bromo-6-methyl-2-phenyl-5-(4-trifluoromethyl-phenyl)-tetrahydropyrazolo[1,5-a]pyrimidin-7-yl]-phenol
- 7-(4-hydroxyphenyl)-6-methyl-2-methylsulfanyl-5-(4-trifluoro-methylphenyl)-tetrahydropyrazolo[1,5-a]-pyrimidine-3-carbonitrile
- 25 • 7-(4-hydroxyphenyl)-6-methyl-5-(4-trifluoromethyl-phenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 2-(4-nitrophenylsulfonyl)-5-phenylsulfanyl-7-pyridin-2-yl-6,7-dihydro-5H-thiazolo[3,2-a]-pyrimidine
- 30

- 3- (4-chlorophenyl) -5-phenylsulfanyl-7-pyridin-2-yl-6,7-dihydro-5H-thiazolo[3,2-a]pyrimidine
- 5-phenylsulfanyl-7-pyridin-2-yl-3-p-tolyl-6,7-dihydro-5H-thiazolo[3,2-a]pyrimidine
- 5 • 7-methoxy-4-phenylsulfanyl-2-pyridin-2-yl-3,4-dihydro-2H-9-thia-1,4a-diazafluorene
- 7-ethoxy-4-phenylsulfanyl-2-pyridin-2-yl-3,4-dihydro-2H-9-thia-1,4a-diazafluorene
- 7-fluoro-4-phenylsulfanyl-2-pyridin-2-yl-3,4-dihydro-2H-9-thia-1,4a-diazafluorene
- 10 • 3-naphthalin-2-yl-5-phenylsulfanyl-7-pyridin-2-yl-6,7-dihydro-5H-thiazolo[3,2-a]pyrimidine
- 7-phenyl-3-phenylazo-5-pyridin-2-yl-3,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 15 • 7-phenylsulfanyl-5-pyridin-2-yl-3,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester
- 3-phenylazo-7-phenylsulfanyl-5-pyridin-2-yl-3,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidin-2-ol
- 20 • 3-bromo-7-phenylsulfanyl-5-pyridin-2-yl-3,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine
- 7-phenylsulfanyl-5-pyridin-2-yl-3,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7- (3,4-dimethoxyphenyl) -2-methylsulfanyl-5-pyridin-2-yl-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 25 • 3-bromo-7- (3,4-dimethoxyphenyl) -2-phenyl-5-pyridin-2-yl-tetrahydropyrazolo[1,5-a]pyrimidine
- 3-bromo-7- (3,4-dimethoxyphenyl) -2-phenyl-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 30

- 3-bromo-7-(3,4-dimethoxyphenyl)-5-(5-nitrofuran-2-yl)-2-phenyl-tetrahydropyrazolo[1,5-a]pyrimidine
- 3-cyano-7-(3,4-dimethoxyphenyl)-2-methylsulfanyl-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 3-cyano-7-(3,4-dimethoxyphenyl)-tetrahydropyrazolo[1,5-a]pyrimidine-5-carboxylic acid ethyl ester
- 3-bromo-7-(3,4-dimethoxyphenyl)-2-phenyl-5-pyridin-2-yl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine
- 7-(3,4-dimethoxyphenyl)-5-pyridin-2-yl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile
- 7-(3,4-dimethoxyphenyl)-2-methylsulfanyl-5-(5-nitrofuran-2-yl)-tetrahydropyrazolo[1,5-a]pyrimidine-3-carbonitrile, and
- 7-(3,4-dimethoxyphenyl)-5-pyridin-2-yl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid ethyl ester.

9. A process for the preparation of compounds corresponding to structure (I A) or (I B) as well as their pharmaceutically acceptable salts



wherein

$R^1$  and  $R^2$  are independently selected from the group consisting of H,  $O-R^9$ ,  $S-R^{10}$ ,  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$ -

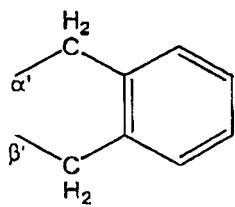
alkyl)-aryl, heterocyclyl or -(C<sub>1-6</sub> alkyl)-heterocyclyl,

5 wherein exactly one of the radicals R<sup>1</sup> and R<sup>2</sup> is H, or wherein one of the radicals R<sup>1</sup> and R<sup>2</sup> is aryl and the other radical of R<sup>1</sup> and R<sup>2</sup> is H or C<sub>1-12</sub>-alkyl,

10 R<sup>3</sup> and R<sup>4</sup> are selected from the group consisting of H, C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl or -(C<sub>1-6</sub>-alkyl)-aryl, wherein at least one of the radicals R<sup>3</sup> and R<sup>4</sup> is H,

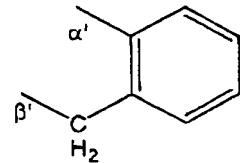
or

15 one of the radicals R<sup>1</sup> and R<sup>2</sup> together with one of the radicals R<sup>3</sup> and R<sup>4</sup> form W, where W is α'-(CH<sub>2</sub>)<sub>n</sub>-β' where n = 3, 4, 5 or 6, α'-CH=CH-CH<sub>2</sub>-β', α'-CH<sub>2</sub>-CH=CH-β', α'-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-β', α'-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-β', α'-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-β', or α'-O-(CH<sub>2</sub>)<sub>m</sub>-β' where m = 2, 3, 4 or 5, or where W corresponds to



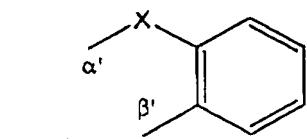
,

or



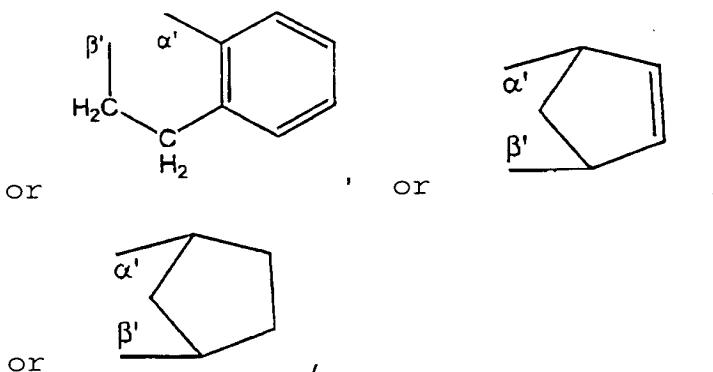
,

20



or

where X = CH<sub>2</sub>, O, or S,



where the end of W identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound

5 corresponding to structure (I A) or (I B), the end of W identified by  $\beta'$  is joined to the atom identified by  $\beta$  in the compound corresponding to structure (I A) or (I B), the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl, and the other radical of  $R^3$  and  $R^4$  is H or  $C_{1-12}$ -alkyl;

10  $R^5$  is  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl,  $-(C_{1-6}$  alkyl)-aryl, heterocyclyl,  $-(C_{1-6}$  alkyl)-heterocyclyl or  $C(=O)R^{11}$ ;

15  $R^6$  is H,  $C_{1-8}$ -alkyl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{15}$ ,  $S(O)_pR^{16}$  where  $p = 0, 1$  or  $2$ ,  $-C(=O)R^{17}$  or  $-N=N-$  aryl;

20  $R^7$  is H,  $C_{1-8}$ -alkyl, aryl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{18}$ ,  $S(O)_qR^{19}$  where  $q = 0, 1$  or  $2$ , or  $C(=O)R^{20}$ ,

25  $R^9$  and  $R^{10}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-$   $C_{3-8}$ -cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;

$R^{11}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl or  $OR^{25}$ ;

$R^{12}$  is  $C_{1-6}$ -alkyl or  $-CH_2$ -aryl;

$R^{13}$  and  $R^{14}$  are identical or different  $C_{1-6}$ -alkyl radicals, or together are  $-(CH_2)_h-$  and form a ring, where  $h = 4$  or 5;

5  $R^{15}$  and  $R^{16}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-$   $C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl;

$R^{17}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$ -alkyl)-aryl,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$  or  $OR^{26}$ ;

10  $R^{18}$  and  $R^{19}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-$   $C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl;

15  $R^{20}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl or  $OR^{27}$ ;

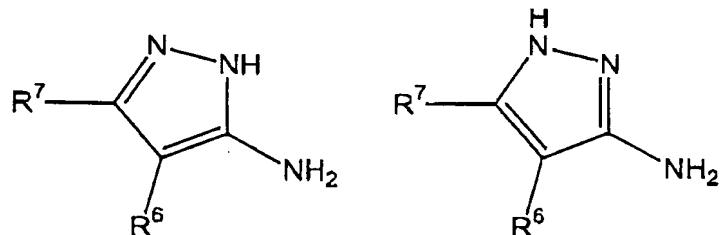
and

$R^{25}$ ,  $R^{26}$ , and  $R^{27}$  are independently selected from the group consisting of H and  $C_{1-6}$ -alkyl, where  $R^{25}$  is not H when both  $R^1$  is aryl and  $R^2$  is alkyl;

20

comprising

reacting a pyrazolamine corresponding to structure (IIIA) or (IIIB),



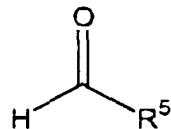
25 III A

III B

wherein

$R^6$  and  $R^7$  are as defined above in this claim,

in the presence of an acid, with an aldehyde corresponding to structure (IV)

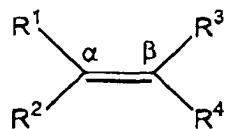


IV

wherein

5 R<sup>5</sup> is as defined above in this claim,

and with an olefin corresponding to structure (V)



V

wherein

10 R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above in this claim,

with the proviso that if one of the radicals R<sup>1</sup> and R<sup>2</sup> together with one of the radicals R<sup>3</sup> and R<sup>4</sup> forms W, the end of W identified by α' is joined to the α-carbon atom of the olefin of the general structure (V), and the end of W identified by β' is joined to the β-carbon atom of the olefin of the general structure (V).

15

10. A process according to claim 9, wherein the reaction of the heterocyclamine corresponding to structure (III A) or (III B) with the aldehyde corresponding to structure (IV) and with the olefin corresponding to structure (V) is carried out in a one-pot process.

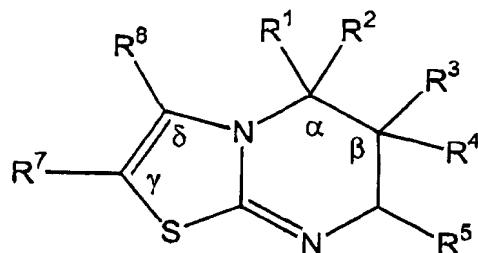
20

11. A process according to claim 9, wherein the acid is trifluoroacetic acid.

12. A process according to of claim 9, wherein the reaction is carried out in an organic solvent at a temperature of 0° to 100°C and at a reaction time of 0.25 to 12 hours.

13. A process according to claim 9, wherein the reaction is carried out at a temperature of 15° to 40°C.

14. A process for the preparation of compounds corresponding to structure (II) or pharmaceutically acceptable salts thereof



II

15

wherein

R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, O-R<sup>9</sup>, S-R<sup>10</sup>, C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl, -(C<sub>1-6</sub>-alkyl)-aryl, heterocyclyl or -(C<sub>1-6</sub> alkyl)-heterocyclyl,

20

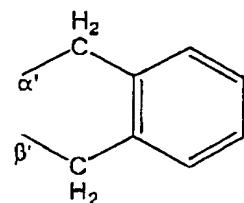
25

wherein exactly one of the radicals R<sup>1</sup> and R<sup>2</sup> is H, or wherein one of the radicals R<sup>1</sup> and R<sup>2</sup> is aryl and the other radical of R<sup>1</sup> and R<sup>2</sup> is H or C<sub>1-12</sub>-alkyl,

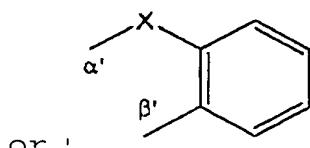
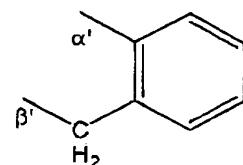
$R^3$  and  $R^4$  are selected from the group consisting of H,  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-$   $C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl, wherein at least one of the radicals  $R^3$  and  $R^4$  is

5 H,  
or

one of the radicals  $R^1$  and  $R^2$  together with one of the radicals  $R^3$  and  $R^4$  form  $W$ , where  $W$  is  $\alpha'-(CH_2)_n-\beta'$  where  $n = 3, 4, 5$  or  $6$ ,  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-\beta'$ ,  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH_2-CH=CH-\beta'$ , or  $\alpha'-O-(CH_2)_m-\beta'$  where  $m = 2, 3, 4$  or  $5$ , or where  $W$  corresponds to



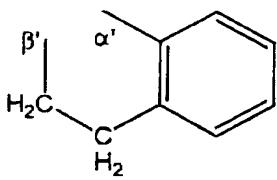
, or



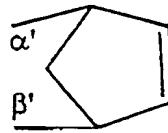
or

where  $X = CH_2, O, \text{ or } S$ ,

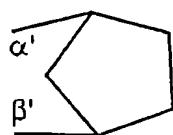
15



or



, or



or

where the end of  $W$  identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound corresponding to structure (II), the end of  $W$

20

identified by  $\beta'$  is joined to the atom identified by  $\beta$  in the compound corresponding to structure (II), the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl, and the other radical of  $R^3$  and  $R^4$  is H or  $C_{1-12}$ -alkyl;

5

$R^5$  is  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$  alkyl)-aryl, heterocyclyl,  $-(C_{1-6}$  alkyl)-heterocyclyl or  $C(=O)R^{11}$ ;

10

$R^7$  is H,  $C_{1-8}$ -alkyl, aryl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{18}$ ,  $S(O)_qR^{19}$  where  $q$  = 0, 1 or 2, or  $C(=O)R^{20}$ ,

15

$R^8$  is H,  $C_{1-8}$ -alkyl or aryl,  
or

$R^7$  and  $R^8$  together form Y, wherein Y is  $\gamma'-CR^{21}=CR^{22}-CR^{23}=CR^{24}-\delta'$ , where the end of Y identified by  $\gamma'$  is joined to the atom identified by  $\gamma$  in the compound corresponding to structure (II), and where the end of Y identified by  $\delta'$  is joined to the atom identified by  $\delta$  in the compound corresponding to structure (II);

20

$R^9$  is  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl or  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl;

25

$R^{10}$  is  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl or  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl;

$R^{11}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl or  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $OR^{25}$ ;

$R^{12}$  is  $C_{1-6}$ -alkyl or  $-CH_2$ -aryl;

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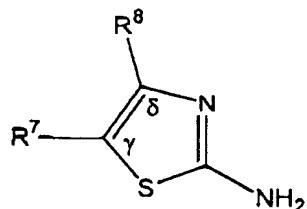
$R^{13}$  and  $R^{14}$  are identical or different  $C_{1-6}$ -alkyl or together are  $-(CH_2)_h-$  and form a ring where  $h$  = 4 or 5;

$R^{18}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl or  $-(C_{1-6}\text{-alkyl})\text{-aryl}$ ;  
 $R^{19}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl or  $-(C_{1-6}\text{-alkyl})\text{-aryl}$ ;  
5       $R^{20}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl or  $-(C_{1-6}\text{-alkyl})\text{-aryl}$  or  $OR^{27}$ ;  
 $R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  are independently selected from the group consisting of H, fluorine, chlorine, bromine, iodine and  $OR^{28}$ ;  
10      $R^{25}$ ,  $R^{26}$ ,  $R^{27}$  and  $R^{28}$  are independently selected from the group consisting of H or  $C_{1-6}$ -alkyl, where  $R^{25}$  is not H when both  $R^1$  is aryl and  $R^2$  is alkyl;

comprising

15

reacting a thiazolamine corresponding to structure (VI),

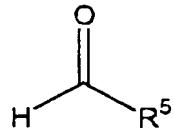


VI

wherein

20      $R^7$  and  $R^8$  are as defined above in this claim, with the proviso that if  $R^7$  and  $R^8$  form Y, the end of Y identified by  $\gamma'$  is coupled to the atom of the thiazolamine of the general structure (VI) identified by  $\gamma$  and the end of Y identified by  $\delta'$  is coupled to the atom of the thiazolamine of the general structure (VI) identified by  $\delta$ ,  
25

in the presence of an acid, with an aldehyde corresponding to structure (IV)



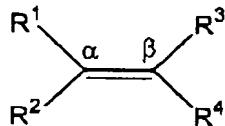
IV ,

5

wherein

$\text{R}^5$  is as defined above in this claim,

and with an olefin corresponding to structure (V)



V ,

10

wherein

$\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and  $\text{R}^4$  are as defined above in this claim, with the proviso that if one of the radicals  $\text{R}^1$  and  $\text{R}^2$  together with one of the radicals  $\text{R}^3$  and  $\text{R}^4$  form  $\text{W}$ , the end of  $\text{W}$  identified by  $\alpha'$  is joined to the  $\alpha$ -carbon atom of the olefin corresponding to structure (V) and the end of  $\text{W}$  identified by  $\beta'$  is joined to the  $\beta$ -carbon atom of the olefin corresponding to structure (V) .

15

20 15. A process according to claim 14, wherein the reaction of the heterocyclamine corresponding to structure (VI) with the aldehyde corresponding to structure (IV) and with the olefin corresponding to structure (V) is carried out in a one-pot process.

25

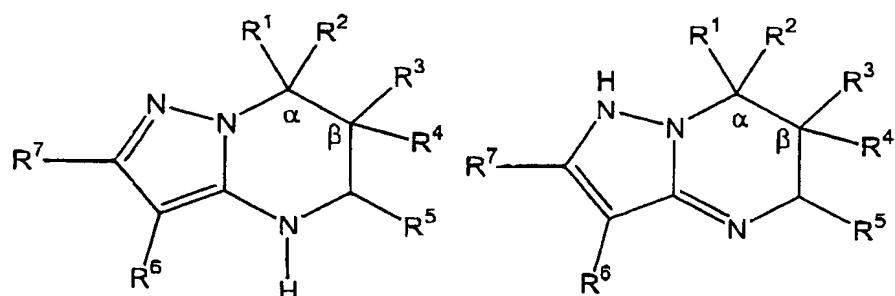
16. A process according to claim 14, wherein the acid is trifluoroacetic acid.

17. A process according to claim 14, wherein the reaction

5 is carried out in an organic solvent at a temperature of 0° to 100°C and at a reaction time of 0.25 to 12 hours.

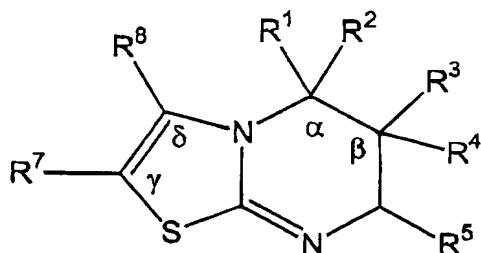
10 18. A process according to claim 14, wherein the reaction is carried out at a temperature of 15° to 40°C.

19. Substance library containing at least one compound corresponding to structure (I A), (I B) or (II)



IA

IB



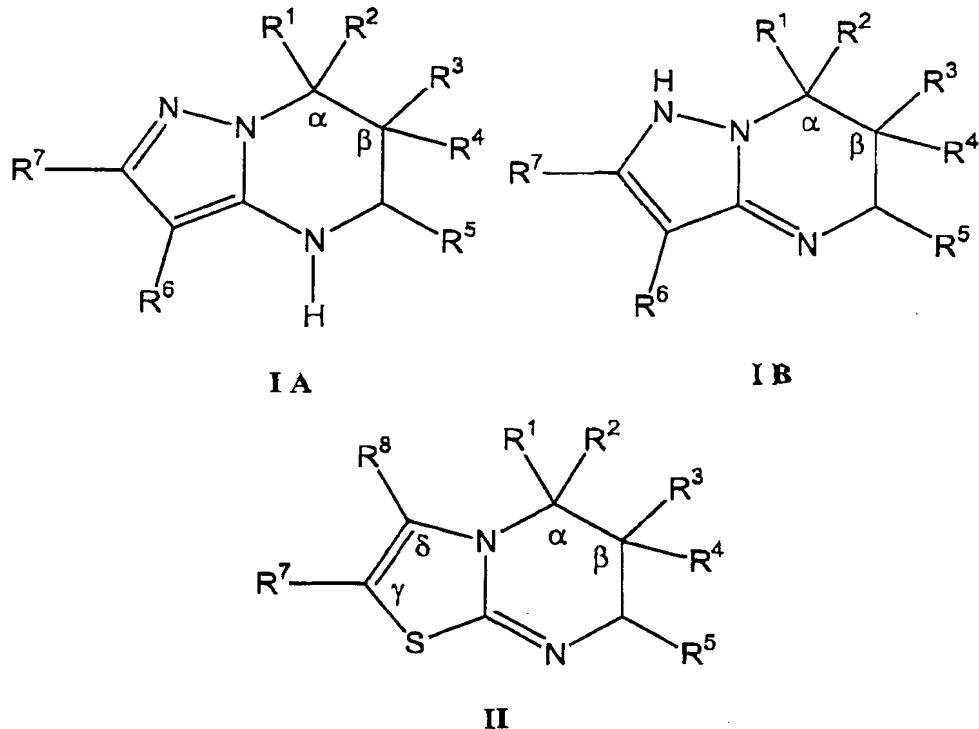
II

15

wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are as defined in claim 1.

20. A medicament comprising at least one compound corresponding to structure (I A), (I B) or (II)



or a salt thereof, or a solvate or hydrate thereof, or a stereoisomer, mixture of stereoisomers having an arbitrary mixture ratio, or a racemate thereof; wherein

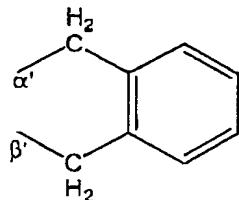
$R^1$  and  $R^2$  are independently selected from the group consisting of H,  $O-R^9$ ,  $S-R^{10}$ ,  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$ -alkyl)-aryl, heterocyclyl or  $-(C_{1-6}$  alkyl)-heterocyclyl,

wherein exactly one of the radicals  $R^1$  and  $R^2$  is H, or wherein one of the radicals  $R^1$  and  $R^2$  is aryl and the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl,

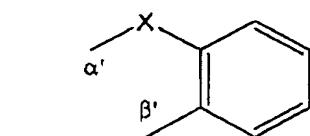
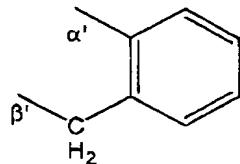
$R^3$  and  $R^4$  are selected from the group consisting of H,  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl, wherein at least one of the radicals  $R^3$  and  $R^4$  is H,

5 or

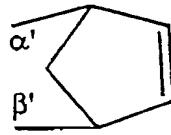
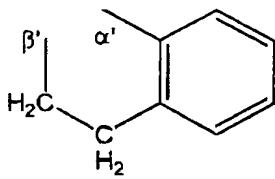
one of the radicals  $R^1$  and  $R^2$  together with one of the radicals  $R^3$  and  $R^4$  form W, where W is  $\alpha'-(CH_2)_n-\beta'$  where  $n = 3, 4, 5$  or  $6$ ,  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-\beta'$ ,  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH_2-CH=CH-\beta'$ , or  $10 \alpha'-O-(CH_2)_m-\beta'$  where  $m = 2, 3, 4$  or  $5$ , or where W corresponds to



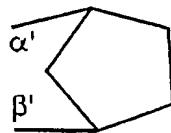
or



where  $X = CH_2, O$ , or  $S$ ,



15 or , or



or ,

where the end of W identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound corresponding to structure (I A), (I B) or (II),  
 $20$  the end of W identified by  $\beta'$  is joined to the

atom identified by  $\beta$  in the compound corresponding to structure (I A), (I B) or (II), the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl, and the other radical of  $R^3$  and  $R^4$  is H or  $C_{1-12}$ -alkyl;

5         $R^5$  is  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$  alkyl)-aryl, heterocyclyl,  $-(C_{1-6}$  alkyl)-heterocyclyl or  $C(=O)R^{11}$ ;

10       $R^6$  is H,  $C_{1-8}$ -alkyl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{15}$ ,  $S(O)_pR^{16}$  where  $p$  = 0, 1 or 2,  $-C(=O)R^{17}$  or  $-N=N$ -aryl;

15       $R^7$  is H,  $C_{1-8}$ -alkyl, aryl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{18}$ ,  $S(O)_qR^{19}$  where  $q$  = 0, 1 or 2, or  $C(=O)R^{20}$ ,

20       $R^8$  is H,  $C_{1-8}$ -alkyl or aryl,  
or

25       $R^7$  and  $R^8$  together form Y, wherein Y is  $\gamma'-CR^{21}=CR^{22}-CR^{23}=CR^{24}-\delta'$ , where the end of Y identified by  $\gamma'$  is joined to the atom identified by  $\gamma$  in the compound corresponding to structure (II), and where the end of Y identified by  $\delta'$  is joined to the atom identified by  $\delta$  in the compound corresponding to structure (II);

30       $R^9$  and  $R^{10}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;

$R^{11}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $OR^{25}$ ;

$R^{12}$  is  $C_{1-6}$ -alkyl or  $-CH_2$ -aryl;

$R^{13}$  and  $R^{14}$  are identical or different  $C_{1-6}$ -alkyl radicals, or together are  $-(CH_2)_h-$  and form a ring, where  $h = 4$  or 5;

5         $R^{15}$  and  $R^{16}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;

$R^{17}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$ -alkyl)-aryl,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$  or  $OR^{26}$ ;

10       $R^{18}$  and  $R^{19}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl;

$R^{20}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl or  $OR^{27}$ ;

15       $R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  are independently selected from the group consisting of H, fluorine, chlorine, bromine, iodine and  $OR^{28}$ ;

$R^{25}$ ,  $R^{26}$ ,  $R^{27}$  and  $R^{28}$  are independently selected from the group consisting of H or  $C_{1-6}$ -alkyl, where  $R^{25}$  is not H when both  $R^1$  is aryl and  $R^2$  is alkyl;

20      and a pharmaceutically acceptable carrier.

21. A medicament according to claim 20, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a physiologically compatible salt.

25

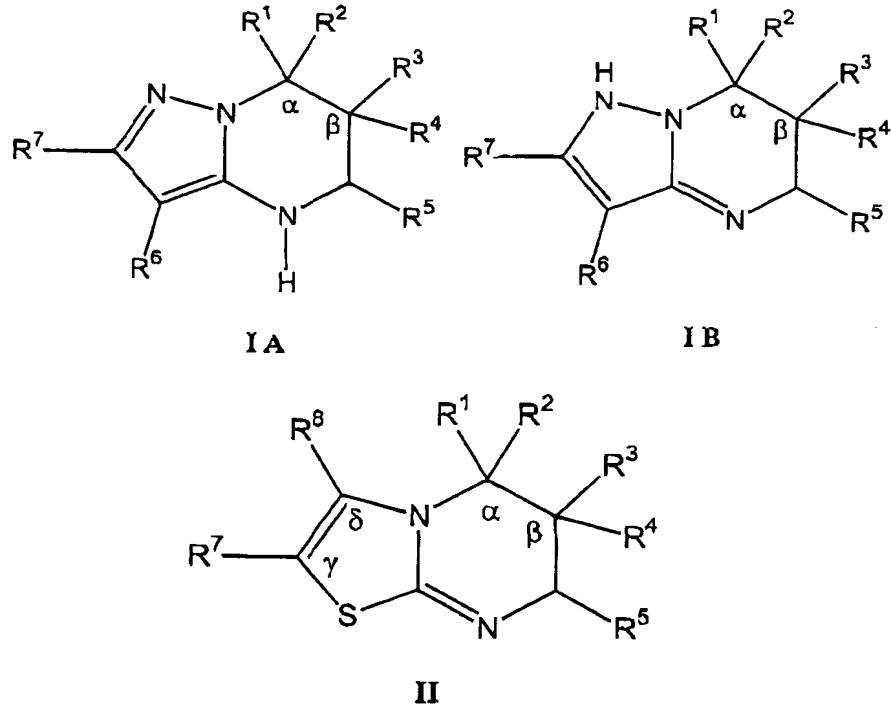
22. A medicament according to claim 20, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a pure enantiomer or a pure diastereomer.

30

23. A medicament according to claim 20, wherein the compound corresponding to structure (I A), (I B) or

(II) is present as a mixture of enantiomers or a mixture of stereoisomers.

24. A method for treating pain comprising administering a  
5 pharmaceutically effective amount of a compound  
corresponding to structure (I A), (I B) or (II)



or a salt thereof, or a solvate or hydrate thereof, or a stereoisomer, mixture of stereoisomers having an arbitrary mixture ratio, or a racemate thereof; wherein

$R^1$  and  $R^2$  are independently selected from the group consisting of H,  $O-R^9$ ,  $S-R^{10}$ ,  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$ -alkyl)-aryl, heterocyclyl or  $-(C_{1-6}$  alkyl)-heterocyclyl,

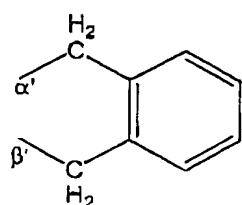
wherein exactly one of the radicals  $R^1$  and  $R^2$  is  $H$ , or wherein one of the radicals  $R^1$  and

$R^2$  is aryl and the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl,

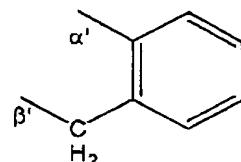
$R^3$  and  $R^4$  are selected from the group consisting of H,  
5  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl,  
aryl or  $-(C_{1-6}$ -alkyl)-aryl, wherein at least one  
of the radicals  $R^3$  and  $R^4$  is H,

or

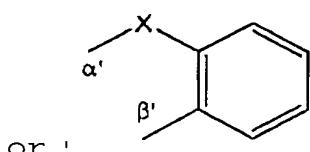
10 one of the radicals  $R^1$  and  $R^2$  together with one of the  
radicals  $R^3$  and  $R^4$  form W, where W is  $\alpha'-(CH_2)_n-\beta'$  where  
 $n = 3, 4, 5$  or  $6$ ,  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-\beta'$ ,  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ ,  
 $\alpha'-CH_2-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH_2-CH=CH-\beta'$ , or  
 $\alpha'-O-(CH_2)_m-\beta'$  where  $m = 2, 3, 4$  or  $5$ , or where W  
corresponds to



, or

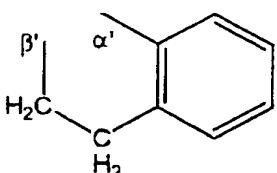


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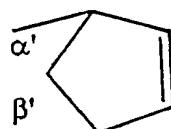


or

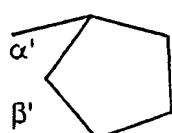
where  $X = CH_2, O, \text{ or } S$ ,



or



or



or

where the end of W identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound corresponding to structure (I A), (I B) or (II), the end of W identified by  $\beta'$  is joined to the atom identified by  $\beta$  in the compound corresponding to structure (I A), (I B) or (II), the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl, and the other radical of  $R^3$  and  $R^4$  is H or  $C_{1-12}$ -alkyl;

5         $R^5$     is  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl,  $-(C_{1-6}$  alkyl)-aryl, heterocyclyl,  $-(C_{1-6}$  alkyl)-heterocyclyl or  $C(=O)R^{11}$ ;

10       $R^6$     is H,  $C_{1-8}$ -alkyl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{15}$ ,  $S(O)_pR^{16}$  where  $p = 0, 1$  or  $2$ ,  $-C(=O)R^{17}$  or  $-N=N$ -aryl;

15       $R^7$     is H,  $C_{1-8}$ -alkyl, aryl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{18}$ ,  $S(O)_qR^{19}$  where  $q = 0, 1$  or  $2$ , or  $C(=O)R^{20}$ ,

20       $R^8$     is H,  $C_{1-8}$ -alkyl or aryl,  
or

25       $R^7$  and  $R^8$  together form Y, wherein Y is  $\gamma'-CR^{21}=CR^{22}-$   $CR^{23}=CR^{24}-\delta'$ , where the end of Y identified by  $\gamma'$  is joined to the atom identified by  $\gamma$  in the compound corresponding to structure (II), and where the end of Y identified by  $\delta'$  is joined to the atom identified by  $\delta$  in the compound corresponding to structure (II);

$R^9$  and  $R^{10}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;  
5       $R^{11}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $OR^{25}$ ;  
 $R^{12}$  is  $C_{1-6}$ -alkyl or  $-CH_2$ -aryl;  
 $R^{13}$  and  $R^{14}$  are identical or different  $C_{1-6}$ -alkyl radicals, or together are  $-(CH_2)_h$ - and form a ring, where  $h = 4$  or 5;  
10      $R^{15}$  and  $R^{16}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl;  
 $R^{17}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl,  $-(C_{1-6}$ -alkyl)-aryl,  $NH_2$ ,  $NHR^{12}$ ,  
15      $NR^{13}R^{14}$  or  $OR^{26}$ ;  
 $R^{18}$  and  $R^{19}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;  
 $R^{20}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl or  
20      $OR^{27}$ ;  
 $R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  are independently selected from the group consisting of H, fluorine, chlorine, bromine, iodine and  $OR^{28}$ ;  
25      $R^{25}$ ,  $R^{26}$ ,  $R^{27}$  and  $R^{28}$  are independently selected from the group consisting of H or  $C_{1-6}$ -alkyl, where  $R^{25}$  is not H when both  $R^1$  is aryl and  $R^2$  is alkyl.

30     25. A method according to claim 24, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a physiologically compatible salt.

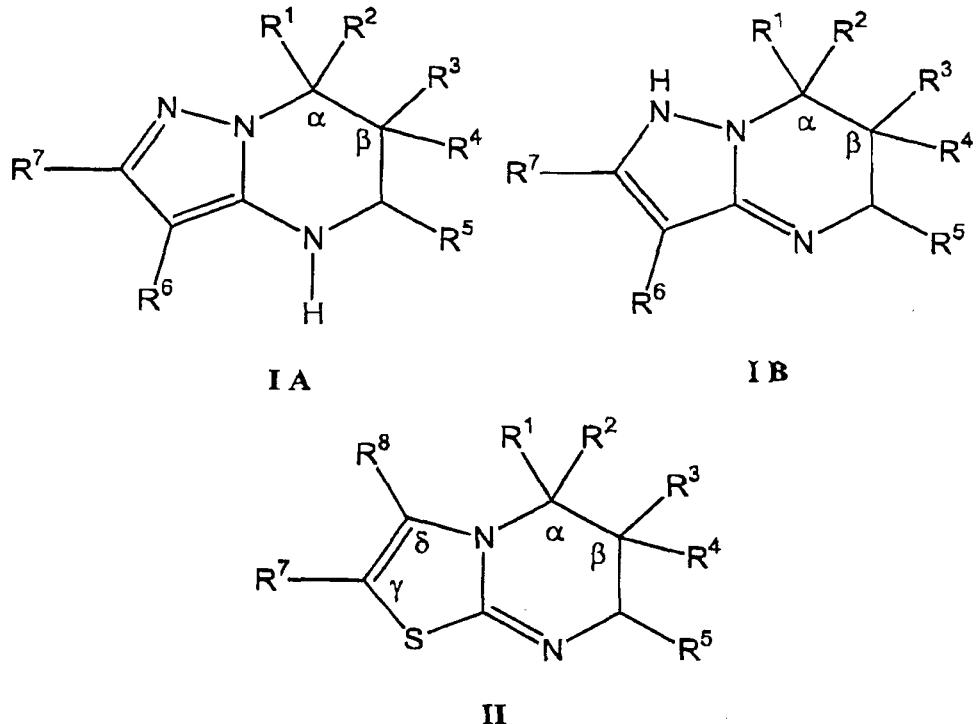
26. A method according to claim 24, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a pure enantiomer or a pure diastereomer.

5 27. A method according to claim 24, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a mixture of enantiomers or a mixture of stereoisomers.

10 28. A method for treatment or prophylaxis of epilepsy, schizophrenia, neurodegenerative conditions, Alzheimer's disease, Huntington's disease and Parkinson's disease, cerebral ischaemias, infarcts, psychoses due to raised amino acid levels, cerebral oedemas, insufficiency states of the central nervous system, hypoxias, anoxias, AIDS dementia, encephalomyelitis, Tourette's syndrome, perinatal asphyxia, or tinnitus comprising administering a pharmaceutically effective amount of a compound corresponding to structure (I A), (I B) or (II),

15

20



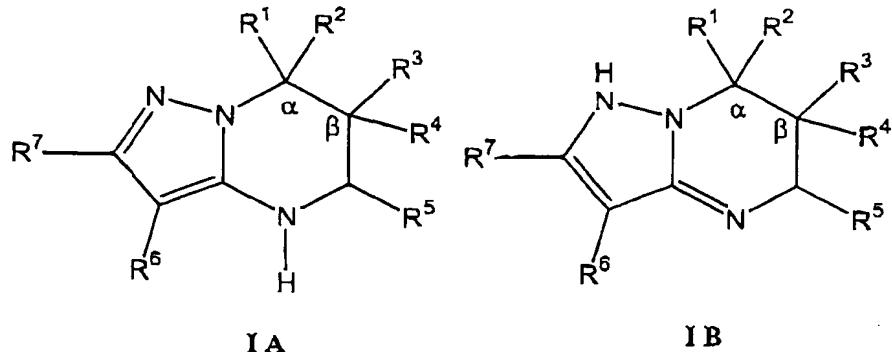
or a salt thereof, or a solvate or hydrate thereof, or a stereoisomer, mixture of stereoisomers having an arbitrary mixture ratio, or a racemate thereof; wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  are as defined in claim 20.

10 29. A method according to claim 28, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a physiologically compatible salt.

30. A method according to claim 28, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a pure enantiomer or a pure diastereomer.

15 31. A method according to claim 28, wherein the compound corresponding to structure (I A), (I B) or (II) is present as a mixture of enantiomers or a mixture of stereoisomers.

32. A method of ligand-binding a nucleoside transport protein, adenosine kinase, adenosine deaminase, or A<sub>1</sub>, A<sub>2</sub>, or A<sub>3</sub> receptors comprising providing a compound corresponding to formula (I A) or (I B)



10 or a salt thereof, or a solvate or hydrate thereof, or  
a stereoisomer, mixture of stereoisomers having an  
arbitrary mixture ratio, or a racemate thereof;  
wherein

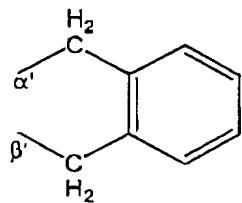
<sup>15</sup> R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of H, O-R<sup>9</sup>, S-R<sup>10</sup>, C<sub>1-12</sub>-alkyl, C<sub>3-8</sub>-cycloalkyl, -CH<sub>2</sub>-C<sub>3-8</sub>-cycloalkyl, aryl, -(C<sub>1-6</sub>-alkyl)-aryl, heterocyclyl or -(C<sub>1-6</sub> alkyl)-heterocyclyl,

20 wherein exactly one of the radicals  $R^1$  and  $R^2$  is H, or wherein one of the radicals  $R^1$  and  $R^2$  is aryl and the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl,

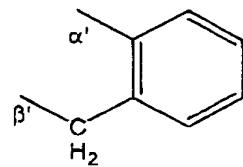
25             $R^3$  and  $R^4$  are selected from the group consisting of H,  
                   $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}$ -cycloalkyl,  
                  aryl or  $-(C_{1-6}$ -alkyl)-aryl, wherein at least one  
                  of the radicals  $R^3$  and  $R^4$  is H,  
                  or

one of the radicals  $R^1$  and  $R^2$  together with one of the radicals  $R^3$  and  $R^4$  form  $W$ , where  $W$  is  $\alpha'-(CH_2)_n-\beta'$  where  $n = 3, 4, 5$  or  $6$ ,  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-\beta'$ ,  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ ,  $\alpha'-CH_2-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH_2-CH_2-CH=CH-\beta'$ , or  $\alpha'-O-(CH_2)_m-\beta'$  where  $m = 2, 3, 4$  or  $5$ , or where  $W$  corresponds to

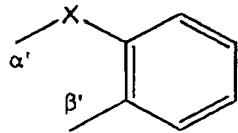
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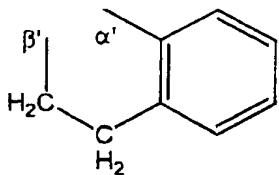
, or



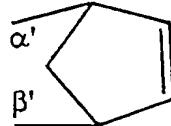
or

where  $X = CH_2, O$ , or  $S$ ,

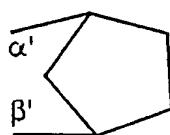
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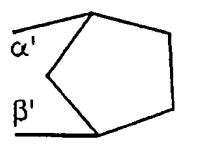
, or



, or



, or



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where the end of  $W$  identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound corresponding to structure (I A) or (I B), the end of  $W$  identified by  $\beta'$  is joined to the atom identified by  $\beta$  in the compound corresponding to structure (I A) or (I B), the other radical of  $R^1$  and  $R^2$  is H or  $C_{1-12}$ -alkyl, and the other radical of  $R^3$  and  $R^4$  is H or  $C_{1-12}$ -alkyl;

$R^5$  is  $C_{1-12}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl,  $-(C_{1-6}$  alkyl)-aryl, heterocyclyl,  $-(C_{1-6}$  alkyl)-heterocyclyl or  $C(=O)R^{11}$ ;  
 5  $R^6$  is H,  $C_{1-8}$ -alkyl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{15}$ ,  $S(O)_pR^{16}$  where  $p = 0, 1$  or  $2$ ,  $-C(=O)R^{17}$  or  $-N=N-$  aryl;  
 10  $R^7$  is H,  $C_{1-8}$ -alkyl, aryl, -CN, fluorine, chlorine, bromine, iodine,  $NO_2$ ,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$ ,  $OR^{18}$ ,  $S(O)_qR^{19}$  where  $q = 0, 1$  or  $2$ , or  $C(=O)R^{20}$ ,  
 15  $R^9$  and  $R^{10}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;  
 20  $R^{11}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl or  $OR^{25}$ ;  
 $R^{12}$  is  $C_{1-6}$ -alkyl or  $-CH_2$ -aryl;  
 25  $R^{13}$  and  $R^{14}$  are identical or different  $C_{1-6}$ -alkyl radicals, or together are  $-(CH_2)_h-$  and form a ring, where  $h = 4$  or  $5$ ;  
 $R^{15}$  and  $R^{16}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl;  
 30  $R^{17}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl,  $-(C_{1-6}$ -alkyl)-aryl,  $NH_2$ ,  $NHR^{12}$ ,  $NR^{13}R^{14}$  or  $OR^{26}$ ;  
 $R^{18}$  and  $R^{19}$  are independently selected from the group consisting of H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl and  $-(C_{1-6}$ -alkyl)-aryl;  
 35  $R^{20}$  is H,  $C_{1-8}$ -alkyl,  $C_{3-8}$ -cycloalkyl,  $-CH_2-C_{3-8}-$  cycloalkyl, aryl or  $-(C_{1-6}$ -alkyl)-aryl or  $OR^{27}$ ;

$R^{25}$ ,  $R^{26}$  and  $R^{27}$  are independently selected from the group consisting of H or  $C_{1-6}$ -alkyl, where  $R^{25}$  is not H when both  $R^1$  is aryl and  $R^2$  is alkyl;

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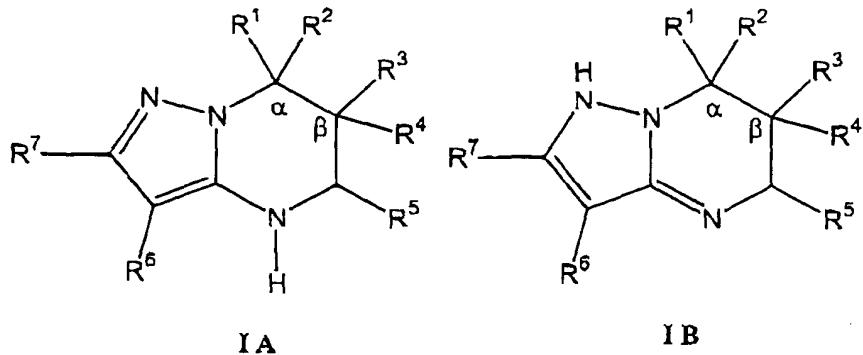
in the presence of a nucleoside transport protein, adenosine kinase, adenosine deaminase, or  $A_1$ ,  $A_2$ , or  $A_3$  receptors.

10 33. A method according to claim 32, wherein the compound corresponding to structure (I A) or (I B) is present as a physiologically compatible salt.

15 34. A method according to claim 32, wherein the compound corresponding to structure (I A) or (I B) is present as a pure enantiomer or a pure diastereomer.

20 35. A method according to claim 32, wherein the compound corresponding to structure (I A) or (I B) is present as a mixture of enantiomers or a mixture of stereoisomers.

25 36. A method for preventing or treating a medical condition or illness affected by modulating nucleoside transport proteins, adenosine kinase, adenosine deaminase, or  $A_1$ ,  $A_2$ , or  $A_3$  receptors comprising administering a pharmaceutically effective amount of a compound corresponding to formula (I A) or (I B)



or an acid, base, or salt thereof, or a solvate or hydrate thereof, or a stereoisomer, mixture of stereoisomers having an arbitrary mixture ratio, or a racemate thereof;

5 racemate thereof;

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$  and  $R^7$  are as defined in claim 32.

10 37. A method according to claim 36, wherein the compound corresponding to structure (I A) or (I B) is present as a physiologically compatible salt.

15 38. A method according to claim 36, wherein the compound corresponding to structure (I A) or (I B) is present as a pure enantiomer or a pure diastereomer.

20 39. A method according to claim 36, wherein the compound corresponding to structure (I A) or (I B) is present as a mixture of enantiomers or a mixture of stereoisomers.

25 40. A method for preventing or treating pain, neuropathic pain, respiratory pathway conditions, cancer, cardiac arrhythmias, ischaemias, epilepsy, Huntington's disease, malfunctions and diseases of the immune system, inflammatory conditions and diseases, neonatal hypoxia, neurodegenerative conditions, Parkinson's

5 disease, kidney failure, schizophrenia, sleep disturbances, strokes, thromboses, urinary incontinence, diabetes, psoriasis, septic shock, cerebral trauma, glaucoma or congestive insufficiency comprising administering a pharmaceutically effective amount of a medicament according to claim 20.

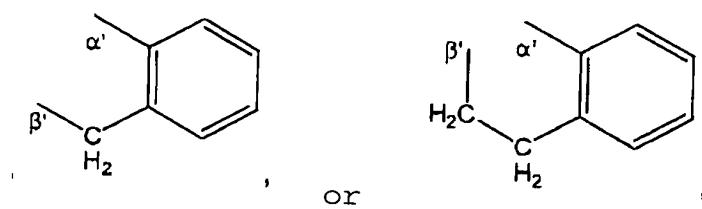
41. The method of claim 36, wherein

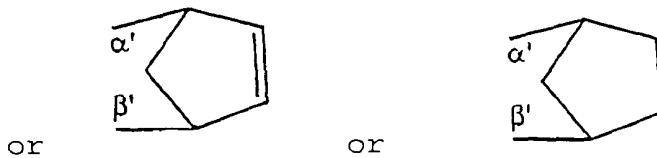
10  $R^1$  and  $R^2$  are independently selected from the group consisting of H,  $O-CH_2-CH_2-OH$ ,  $O-cyclohexyl$ , S-phenyl, methyl, phenyl, 3-fluorophenyl, 3-bromophenyl, 4-bromophenyl, 4-chlorophenyl, 4-fluorophenyl, 3-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 2,4-dimethylphenyl, 3,4-dimethoxyphenyl, 2,3,4-trimethoxyphenyl, 2-naphthyl and  $-CH_2-phenyl$ ,

15  $R^3$  and  $R^4$  are H, methyl or 4-methoxyphenyl, where at least one of the radicals  $R^3$  and  $R^4$  is H, or

20 one of the radicals  $R^1$  and  $R^2$  together with one of the radicals  $R^3$  and  $R^4$  form W, where W is  $\alpha'-CH=CH-CH_2-\beta'$ ,  $\alpha'-CH=CH-CH_2-CH_2-\beta'$ , or  $\alpha'-O-(CH_2)_m-\beta'$  where  $m = 2, 3, 4$  or  $5$ , or where W corresponds to

25





where the end of W identified by  $\alpha'$  is joined to the atom identified by  $\alpha$  in the compound

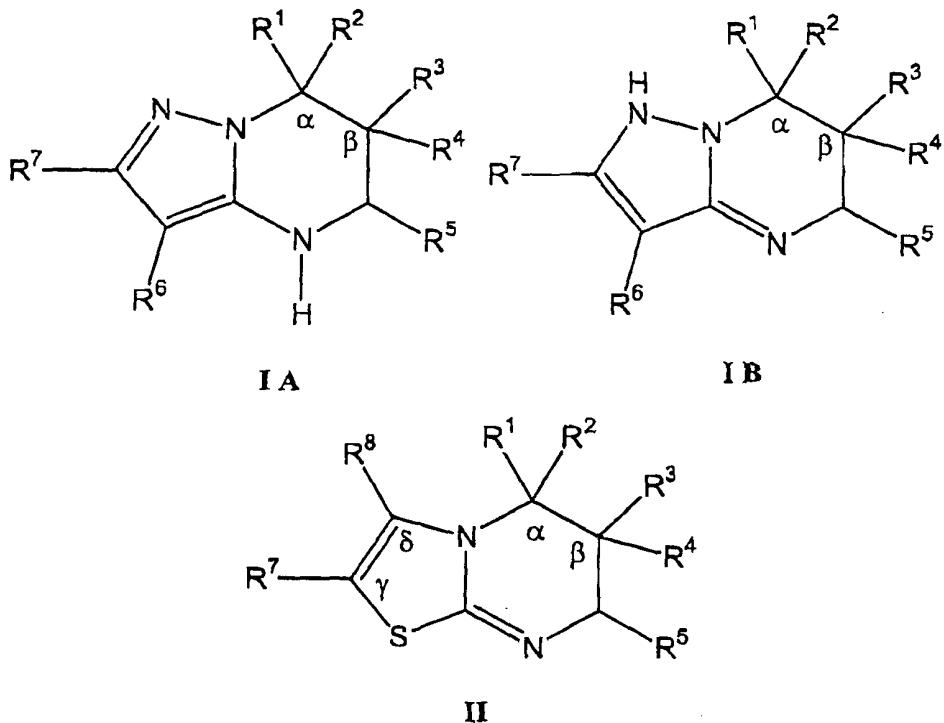
5 corresponding to structure (I A) or (I B), the end of W identified by  $\beta'$  is joined to the atom identified by  $\beta$  in the compound corresponding to structure (I A) or (I B), and the other radical of  $R^1$  and  $R^2$  and the other radical  $R^3$  and  $R^4$  are H;

10  $R^5$  is n-propyl, n-butyl, tert.-butyl,  $-(CH_2)_4-OH$ , cyclopropyl, cycloprop-2-yl-1-carboxylic acid ethyl ether, cyclohexyl, 4-trifluorophenyl, 4-phenoxyphenyl, 2-hydroxy-3-methoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3-carboxy-2-hydroxy-phenyl,  $-(CH_2)_2$ -phenyl, 5-carboxyfuran-2-yl, 5-methylfuran-2-yl, 5-nitrofuran-2-yl, 5-nitrothien-2-yl, pyridin-2-yl, pyridin-3-yl,  $C(=O)-$ phenyl,  $C(=O)OH$  or  $C(=O)Oethyl$ , where  $R^5$  is not  $C(=O)OH$  when both  $R^1$  is aryl and  $R^2$  is alkyl;

15  $R^6$  is H, -CN, bromine,  $-C(=O)OH$ ,  $-C(=O)Oethyl$  or  $-N=N$ -phenyl; and

20  $R^7$  is H, phenyl, OH, -S-methyl,  $-SO_2-(4$ -nitrophenyl) or tert.-butyl.

25 42. A pharmaceutical composition comprising at least one compound corresponding to structure (I A), (I B) or (II)



5

or a salt thereof, or a solvate or hydrate thereof, or a stereoisomer, mixture of stereoisomers having an arbitrary mixture ratio, or a racemate thereof; wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are as defined in claim 1; and at least one pharmaceutical auxiliary substance.